

Translation

PATENT COOPERATION TREATY

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INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY
(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

| | | |
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| Applicant's or agent's file reference 54062 | FOR FURTHER ACTION | See Form PCT/IPEA/416 |
| International application No. PCT/EP2003/012773 | International filing date (day/month/year) 14 November 2003 (14.11.2003) | Priority date (day/month/year) 15 November 2002 (15.11.2002) |
| International Patent Classification (IPC) or national classification and IPC C07D 487/04 | | |
| Applicant BASF AKTIENGESELLSCHAFT | | |

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|---|
| 1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36. |
| 2. This REPORT consists of a total of <u>6</u> sheets, including this cover sheet. |
| 3. This report is also accompanied by ANNEXES, comprising: a. <input type="checkbox"/> (sent to the applicant and to the International Bureau) a total of _____ sheets, as follows: <input type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions). <input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box. b. <input type="checkbox"/> (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) _____, containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions). |
| 4. This report contains indications relating to the following items: <input checked="" type="checkbox"/> Box No. I Basis of the report <input type="checkbox"/> Box No. II Priority <input type="checkbox"/> Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability <input type="checkbox"/> Box No. IV Lack of unity of invention <input checked="" type="checkbox"/> Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement <input type="checkbox"/> Box No. VI Certain documents cited <input type="checkbox"/> Box No. VII Certain defects in the international application <input type="checkbox"/> Box No. VIII Certain observations on the international application |

| | |
|--|--|
| Date of submission of the demand 28 April 2004 (28.04.2004) | Date of completion of this report 11 February 2005 (11.02.2005) |
| Name and mailing address of the IPEA/EP | Authorized officer |
| Facsimile No. | Telephone No. |

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/EP2003/012773

Box No. I Basis of the report

1. With regard to the language, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.

☐ This report is based on translations from the original language into the following language _____, which is language of a translation furnished for the purpose of:

☐ international search (under Rules 12.3 and 23.1(b))

☐ publication of the international application (under Rule 12.4)

☐ international preliminary examination (under Rules 55.2 and/or 55.3)

2. With regard to the elements of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:

☒ The international application as originally filed/furnished

☒ the description:

pages _____ 1-31 _____, as originally filed/furnished

pages* _____ received by this Authority on _____

pages* _____ received by this Authority on _____

☒ the claims:

pages _____ 1-10 _____, as originally filed/furnished

pages* _____, as amended (together with any statement) under Article 19

pages* _____ received by this Authority on _____

pages* _____ received by this Authority on _____

☐ the drawings:

pages _____, as originally filed/furnished

pages* _____ received by this Authority on _____

pages* _____ received by this Authority on _____

☐ a sequence listing and/or any related table(s) – see Supplemental Box Relating to Sequence Listing.

3. ☐ The amendments have resulted in the cancellation of:

☐ the description, pages _____

☐ the claims, Nos. _____

☐ the drawings, sheets/figs _____

☐ the sequence listing (*specify*): _____

☐ any table(s) related to sequence listing (*specify*): _____

4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).

☐ the description, pages _____

☐ the claims, Nos. _____

☐ the drawings, sheets/figs _____

☐ the sequence listing (*specify*): _____

☐ any table(s) related to sequence listing (*specify*): _____

* If item 4 applies, some or all of those sheets may be marked "superseded."

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

PCT/EP 03/12773

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**1. Statement**

| | | | |
|-------------------------------|--------|------|-----|
| Novelty (N) | Claims | 1-10 | YES |
| | Claims | | NO |
| Inventive step (IS) | Claims | | YES |
| | Claims | 1-10 | NO |
| Industrial applicability (IA) | Claims | 1-10 | YES |
| | Claims | | NO |

2. Citations and explanations

Reference is made to the following documents:

D1: DE 101 21 102 A (BAYER AG) 7 November 2002
(2002-11-07) mentioned in the application

D2: EP-A-0 550 113 (SHELL INT RESEARCH) 7 July 1993
(1993-07-07) mentioned in the application

1. Novelty

The present application discloses triazolopyrimidines of the general formula I (claims 1 to 4), a method for the production thereof (5 to 8), an agent for the control of harmful fungi containing a compound of formula I (claim 9) and a method for the control of harmful fungi using a compound of formula I (claim 10).

D1 and D2 disclose triazolopyrimidine derivatives which differ from the compounds according to the invention by virtue of the mercapto group in the 2-position of the triazolopyrimidine skeleton. The D1 and D2 compounds are suitable for the control of harmful fungi.

The subject matter of the present application is considered novel (PCT Article 33(2)).

2. Inventive step

The problem addressed by the invention was to produce further triazolopyrimidine derivatives having enhanced efficacy against harmful fungi by comparison with the known compounds (page 3, lines 14 and 15).

D1, which is considered to be the closest prior art, discloses triazolopyrimidines of the general formula (I) from which the subject matter of claim 1 differs in that a mercapto group is present in the 2-position of the triazolopyrimidine skeleton and the D1 compounds have an alkylthio group in the 2-position. The D1 compounds are used for the control of micro-organisms.

The solution to the above-mentioned problem lies in the production of compounds defined by the general formula I in claim 1. The data for efficacy against harmful fungi is given for a compound from example 9 in the last paragraph on page 31.

The structural difference between the present compounds in claim 1 and the prior art compounds in D1 is not very great. The compounds in the present application have an HS group in the 2-position, whereas the closest compounds in table 1 of D1 have a CH₃S group in the 2-position. The problem addressed by the invention was to provide compounds with enhanced activity. Sufficient comparative data was not, however, found in the description in the present application to demonstrate that the compounds in claim 1 exhibit enhanced activity over the D1 compounds.

Furthermore, the application does not contain sufficient information credibly to demonstrate that not only the

above-mentioned compound from example 9 but also a further group of compounds more representative of the whole scope actually constitute a solution to the above-mentioned problem. An inventive step cannot be acknowledged owing to the above-mentioned defects (PCT Article 33(3)).

3. Clarity

The applicant is advised that the substituent R_3 is not defined either in formula III of claim 6 or in the description (page 3, line 27 to page 4, line 5).

It has also been established that claims 2 and 3 do not correspond to preferred embodiments. Inconsistencies between the description and the claims should be avoided if they may give rise to doubts as to the scope of protection.

An obvious error has been found in line 3 on page 4 of the description. The substituent R is defined in parentheses instead of R_4 .

A further obvious error has been found in line 13 on page 14 of the description. The substituent L_n is defined instead of L_m .